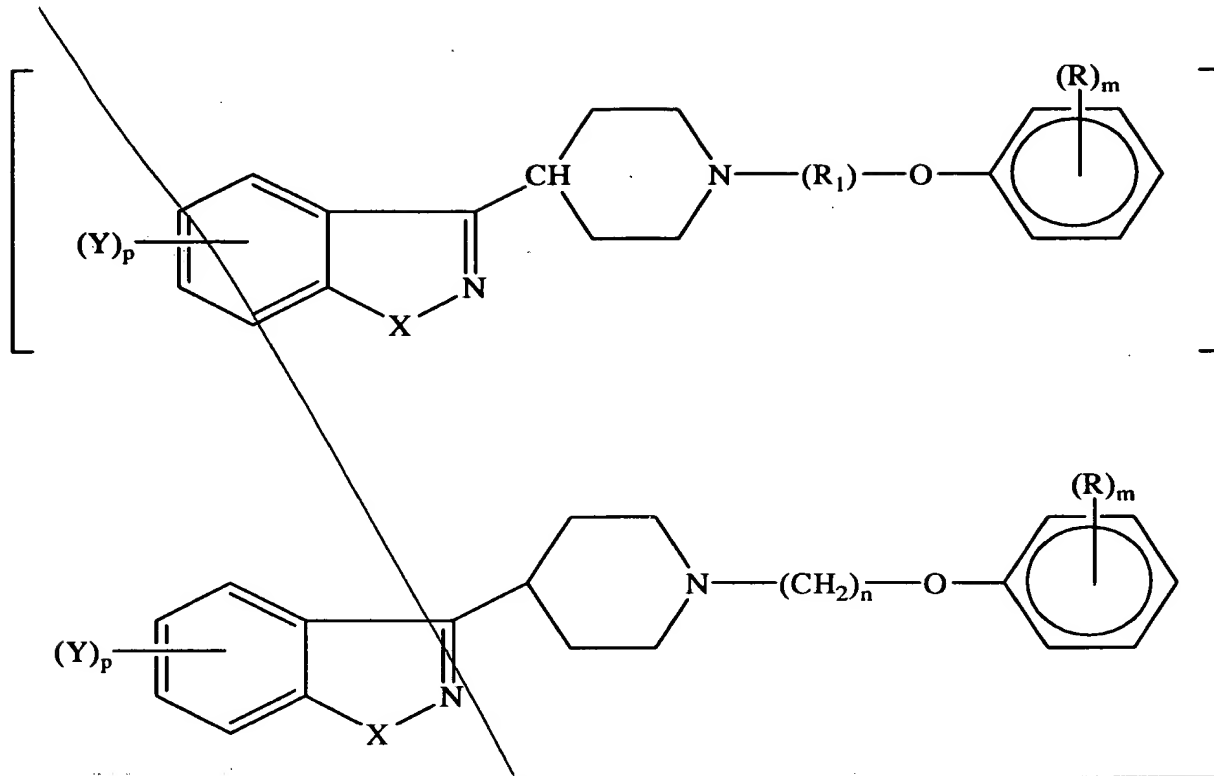


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wherein

X is -O- or -S-;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy[, hydroxy and halogen] when p is 2 and X is -O-;

[(R<sub>1</sub>) is R<sub>20</sub>, R<sub>21</sub>, or R<sub>22</sub>, wherein:

R<sub>20</sub> is -(CH<sub>2</sub>)<sub>n</sub>- where n is 2, 3, 4 or 5;

[R<sub>21</sub> is

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*cf*  
-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

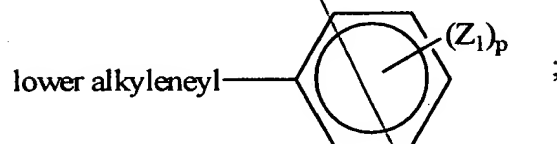
-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-, or

*Sh*  
*pl*  
-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

the -CH=CH- bond being cis or trans;

R<sub>22</sub> is R<sub>20</sub> or R<sub>21</sub> in which one or more carbon atoms of R<sub>20</sub> or R<sub>21</sub> are substituted by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group or



where Z<sub>1</sub> is lower alkyl, -OH, lower alkoxy, -CF<sub>3</sub>, -NO<sub>2</sub>,  
-NH<sub>2</sub> or halogen;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or

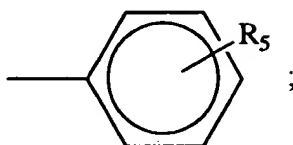
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~~-CH(OR<sub>7</sub>)-alkyl; [-CH(OR<sup>7</sup>)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, and  
-C(=W)-heteroaryl;]~~

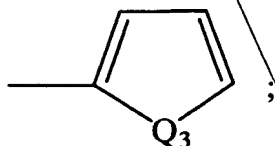
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy,  
chlorine, fluorine, bromine, iodine, lower  
monoalkylamino, [lower dialkylamino,] nitro, cyano,  
trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

[W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub> ;]

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

[R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub> ; and

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$R_{10}$  is hydrogen, lower alkyl,  $C_1$ - $C_3$  acyl, aryl,

$-C(=O)$ -aryl, or  $-C(=O)$ -heteroaryl,

where aryl and heteroaryl are as defined above;]

and

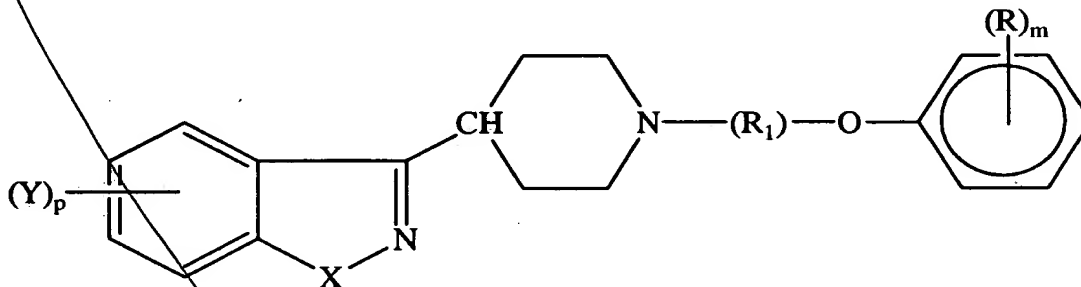
m is 1, 2, or 3;

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable  
acid addition salt thereof.

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80. (Amended three times) A compound as claimed in claim 1 [of the formula:



wherein

X is -O- or -S-;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy and halogen when p is 2 and X is -O-;

(R<sub>1</sub>) is R<sub>20</sub>, R<sub>21</sub>, or R<sub>22</sub>, wherein:

R<sub>20</sub> is -(CH<sub>2</sub>)<sub>n</sub>- where n is 2, 3, 4 or 5;

R<sub>21</sub> is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

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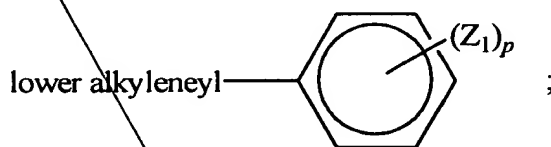
$-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-\text{CH}_2-$ , or

$-\text{CH}_2-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-$ ,

the  $-\text{CH}=\text{CH}-$  bond being cis or trans;

$\text{R}_{22}$  is  $\text{R}_{20}$  or  $\text{R}_{21}$  in which one or more carbon atoms of  $\text{R}_{20}$  or  $\text{R}_{21}$  are

substituted by at least one  $\text{C}_1\text{-C}_6$  linear alkyl group, phenyl group or



where  $\text{Z}_1$  is lower alkyl,  $-\text{OH}$ , lower alkoxy,  $-\text{CF}_3$ ,  $-\text{NO}_2$ ,

$-\text{NH}_2$  or halogen; and  $\text{R}$  and  $m$  are as defined

hereinafter;

$m$  is 1, 2, or 3; and

when  $m$  is 1, 2, or 3,  $\text{R}$  is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl,

chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino,

nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl,

trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl,

dialkylaminocarbonyl, formyl,  $-\text{C}(=\text{O})\text{-alkyl}$ ,  $-\text{C}(=\text{O})\text{-O-alkyl}$ ,

$-\text{C}(=\text{O})\text{-aryl}$ ,  $-\text{C}(=\text{O})\text{-heteroaryl}$ ,  $-\text{CH}(\text{OR}^7)\text{-alkyl}$ ,  $-\text{C}(=\text{W})\text{-alkyl}$ ,

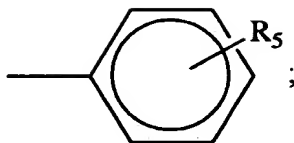
$-\text{C}(=\text{W})\text{-aryl}$ , and  $-\text{C}(=\text{W})\text{-heteroaryl}$ ;

alkyl is lower alkyl;

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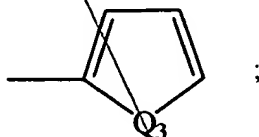
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aryl is phenyl or



where  $R_5$  is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



$Q_3$  is  $-O-$ ,  $-S-$ ,  $-NH-$ ,  $-CH=N-$ ;

W is  $CH_2$  or  $CHR_8$  or  $N-R_9$ ;

$R_7$  is hydrogen, lower alkyl, or acyl;

$R_8$  is lower alkyl;

$R_9$  is hydroxy, lower alkoxy, or  $-NHR_{10}$ ; and

$R_{10}$  is hydrogen, lower alkyl,  $C_1-C_3$  acyl, aryl,

$-C(=O)-$ aryl or  $-C(=O)-$ heteroaryl,

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where aryl and heteroaryl are as defined above;

and]

with the proviso that when m is 3, R is not  $-C(=O)$ -heteroaryl [or

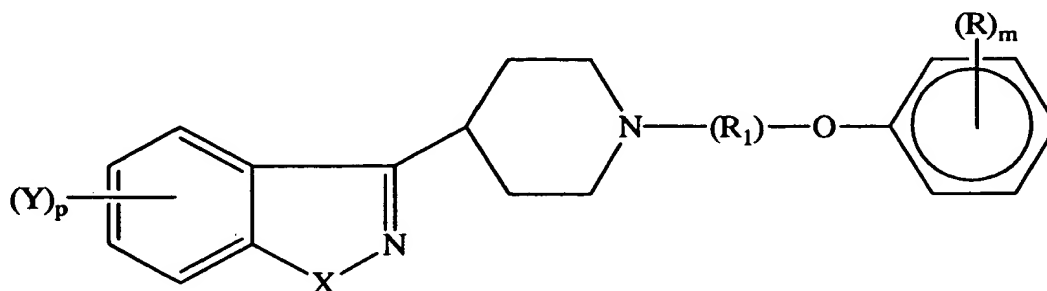
$-C(=W)$ -heteroaryl,];

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable  
acid addition salt thereof.



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87. (Amended) A compound of the formula

whereinX is -O- or -S-;p is 1 or 2;Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;Y is lower alkoxy, hydroxy and halogen when p is 2 and X is -O-;(R<sub>1</sub>) is-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-;-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-;-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-;-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-;-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-; or-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-;the -CH=CH- bond being cis or trans;

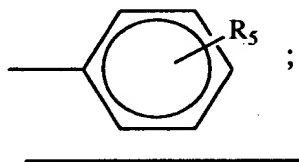
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C<sup>3</sup>  
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR<sub>7</sub>)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

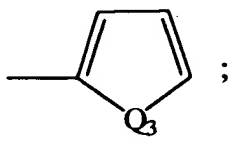
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

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W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above;

and

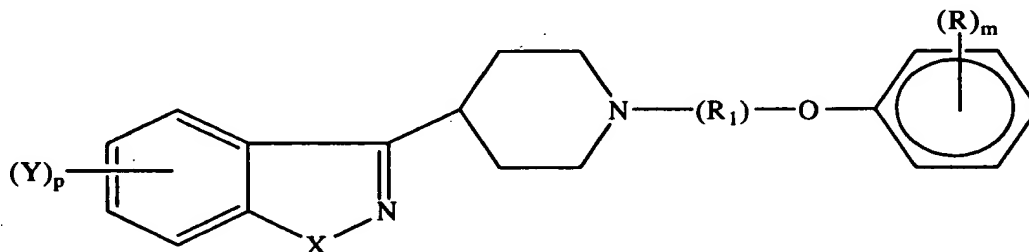
m is 1, 2, or 3;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable

acid addition salt thereof.

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104. (Amended) A compound of the formula



wherein

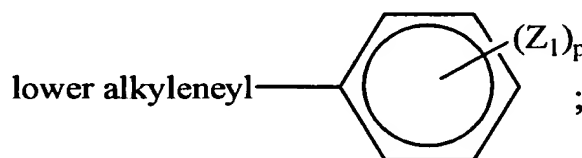
X is -O- or -S-;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy or halogen when p is 2 and X is -O-;

(R<sub>1</sub>) is R<sub>20</sub> or R<sub>21</sub> in which one or more carbon atoms of R<sub>20</sub> or R<sub>21</sub> are substituted by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group or



wherein Z<sub>1</sub> is lower alkyl, -OH, lower alkoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, -NH<sub>2</sub>, or

halogen;

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R<sub>20</sub> is -(CH<sub>2</sub>)<sub>n</sub>-, wherein n is 2, 3, 4 or 5;

R<sub>21</sub> is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-, or

-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower

alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl,

trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl,

dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl,

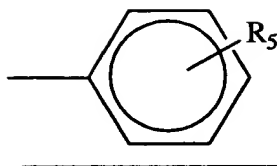
-C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR<sub>7</sub>)-alkyl,

-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

wherein alkyl is lower alkyl;

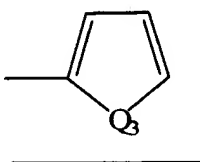
aryl is phenyl or

C4



wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy,  
chlorine, fluorine, bromine, iodine, lower  
monoalkylamino, lower dialkylamino, nitro, cyano,  
trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

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wherein aryl and heteroaryl are as defined above;

and

m is 1, 2, or 3;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable

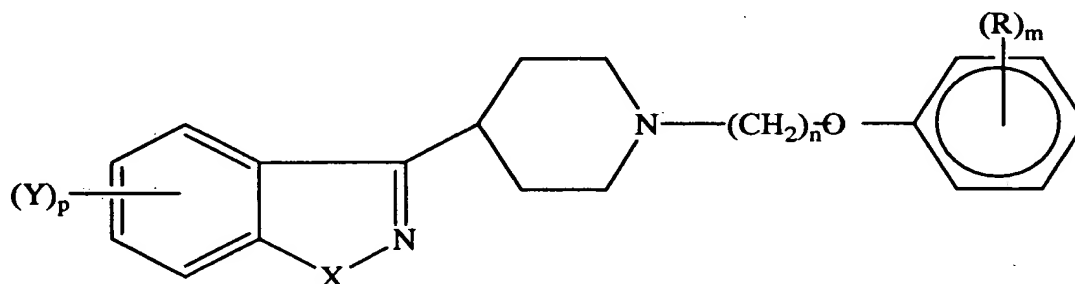
acid addition salt thereof.

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132. (Amended) A compound of the formula



wherein

X is -O- or -S-;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine,

lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy or halogen when p is 2 and X is -O-;

n is 2, 3, 4 or 5;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl,

-C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR<sub>7</sub>)-alkyl,

-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

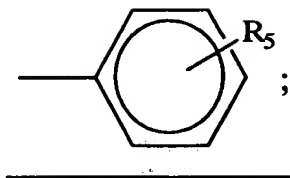
wherein alkyl is lower alkyl;



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aryl is phenyl or



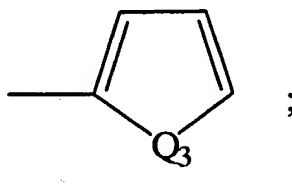
wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy,

chlorine, fluorine, bromine, iodine, lower

monoalkylamino, nitro, cyano, trifluoromethyl, or

trifluoromethoxy;

heteroaryl is



wherein Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl;

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wherein aryl and heteroaryl are as defined above;

and

m is 1, 2, or 3;

with the proviso that at least one R is selected from the group consisting of

dialkylaminocarbonyl, formyl, -C(=W)-alkyl, -C(=W)-aryl, and

-C(=W)-heteroaryl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable

acid addition salt thereof.

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